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(54) Title: A NOVEL PROCESS FOR THE PREPARATION OF LINEZOLID AND RELATED COMPOUNDS

(57) Abstract: The present invention provides a novel process for preparation of 5-aminomethyl substituted oxazolidinones, key intermediates for oxazolidinone antibiotics including linezolid. Thus linezolid is prepared by a) reacting 3-fluoro-4-morpholinyl aniline with R-epichlorohydrin; b) subjecting N-(3-chloro-2-(R)-hydroxypropyl)-3-fluoro-4-morpholinyl aniline produced above to carbonylation; c) reacting (S)-5-(chloromethyl)-3-(3-fluoro-4-(4-morpholinyl)phenyl)-2-oxazolidinone produced above with potassium phthalimide; d) reacting (S)-N-([3-(3-fluoro-4-(4-morpholinyl)phenyl)-2-oxo-5-oxazolidinyl]methyl)phthalimide produced above with hydrazine hydrate; and e) reacting 3-N-([3-(3-fluoro-4-(4-morpholinyl)phenyl)-2-oxo-5-oxazolidinyl]methyl)amine produced above with acetic anhydride to produce linezolid.

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A. CLASSIFICATION OF SUBJECT MATTER IPC⁸: C07D 413/10 (2005.01); C07D 263/20 (2006.01) According to International Patent Classification (IPC) or to both national classification and IPC		
B. FIELDS SEARCHED Minimum documentation searched (classification system followed by classification symbols) IPC⁸: C07D		
Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched ---		
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C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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